

This Page Is Inserted by IFW Operations
and is not a part of the Official Record

BEST AVAILABLE IMAGES

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images may include (but are not limited to):

- BLACK BORDERS
- TEXT CUT OFF AT TOP, BOTTOM OR SIDES
- FADED TEXT
- ILLEGIBLE TEXT
- SKEWED/SLANTED IMAGES
- COLORED PHOTOS
- BLACK OR VERY BLACK AND WHITE DARK PHOTOS
- GRAY SCALE DOCUMENTS

IMAGES ARE BEST AVAILABLE COPY.

**As rescanning documents *will not* correct images,
please do not report the images to the
Image Problem Mailbox.**

09/856,372

=> d his

(FILE 'HOME' ENTERED AT 11:15:07 ON 31 JAN 2003)

FILE 'REGISTRY' ENTERED AT 11:15:12 ON 31 JAN 2003

L1 STRUCTURE UPLOADED

L2 QUE L1

L3 6 S L2

L4 107 S L2 SSS FUL

FILE 'CAPLUS' ENTERED AT 11:15:56 ON 31 JAN 2003

L5 25 S L4

FILE 'REGISTRY' ENTERED AT 11:16:42 ON 31 JAN 2003

L6 STRUCTURE UPLOADED

L7 QUE L6

L8 3 S L7 SUB=L4 SAM

L9 86 S L7 SUB=L4 FUL

FILE 'CAPLUS' ENTERED AT 11:17:57 ON 31 JAN 2003

L10 9 S L9

=> d bib abs hitstr 1-9

110 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS

AN 2002:503385 CAPLUS

DN 137:63263

TI Preparation of diazabicycloalkanes as CNS-penetrant .alpha.7 nicotinic receptor agonists.

IN Coe, Jotham Wadsworth; O'Donnell, Christopher John; O'Neill, Brian Thomas

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 27 pp.

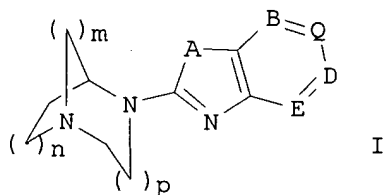
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1219622	A2	20020703	EP 2001-310270	20011207
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2002086871	A1	20020704	US 2001-47850	20011023
	BR 2001006462	A	20020924	BR 2001-6462	20011228
PRAI	US 2000-258736P	P	20001229		
OS	MARPAT 137:63263				
GI					



AB Title compds. [I; m, n, o = 1-2; A = O, S, NR1; B = N, CR2; Q = N, CR3; D = N, CR4; E = N, CR5; R1 = H, alkyl, CO2R6, CH2R6, CONR6R7, COR6, SO2R6; R2-R5 = F, Cl, Br, iodo, NO2, cyano, CF3, NR6R7, NR6COR7, NR6CONR7R8, NR6CO2R7, NR6SO2R7, NR6SO2NR7R8, OR6, O2CR6, OCO2R6, O2CNR6R7, O2CSR6, CO2R6, COR6, CONR6R7, SR6, SOR6, SO2R6, SO2NR6R7, R6; R6-R8 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocycloalkyl, bicycloalkyl, bicycloalkenyl, heterobicycloalkyl, heterobicycloalkenyl, aryl, heteroaryl; R6-R8 are optionally substituted with 1-6 F, Cl, Br, iodo, NO2, cyano, CF3, NR9R10, NR9COR10, NR9CONR10R11, NR9CO2R10, NR9SO2R10, NR9SO2NR10R11, OR9, O2CR9, O2COR9, O2CNR9R10, O2CSR9, COR9, CONR9R10, SR9, SOR9, SO2R9, SO2NR9R10, R9; R9-R11 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocycloalkyl, bicycloalkyl, bicycloalkenyl, heterobicycloalkyl, heterobicycloalkenyl, aryl, heteroaryl; R9-R11 is optionally substituted with 1-6 F, Cl, Br, iodo, NO2, cyano, CF3, NR12R13, NR12COR13, NR12CONR13R14, NR12CO2R13, NR12SO2R13, NR12SO2NR13R14, OR12, O2CR12, O2COR12, OCONR12R13, O2CSR12, CO2R12, COR12, CONR12R13, SR12, SOR12, SO2R12, SO2NR12R13, R12; R12-R14 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocycloalkyl, bicycloalkyl, bicycloalkenyl, heterobicycloalkyl, heterobicycloalkenyl, aryl, heteroaryl; R2R3, R3R4, R4R5 may form a 6-membered arom. or heteroarom. ring], were prep'd. Thus, 2-chlorobenzoxazole and 1,4-diazabicyclo[3.2.2]nonane were stirred in MeOH at 0.degree. to room temp.; after 16 h (Me2CH)2NEt was added and the mixt. was stirred a further 4.5 h to give 35% 4-benzoxazol-2-yl-1,4-bicyclo[3.2.2]nonane. In

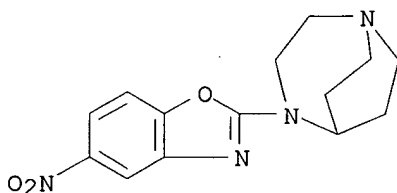
an assay involving [¹²⁵I]-bungarotoxin binding to nicotinic receptors in GH4C1 cells, I showed IC₅₀<10 .mu.M.

IT **376354-12-0P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of diazabicycloalkanes as CNS-penetrant .alpha.7 nicotinic receptor agonists)

RN 376354-12-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-nitro-2-benzoxazolyl)- (9CI) (CA INDEX NAME)



IT **376354-15-3P 376354-23-3P 439607-89-3P**

439607-90-6P 439607-94-0P 439607-96-2P

439607-97-3P 439607-98-4P 439607-99-5P

439608-00-1P 439608-07-8P 439608-09-0P

439608-10-3P 439608-11-4P 439608-13-6P

439608-14-7P 439608-15-8P 439608-16-9P

439608-17-0P 439608-18-1P 439608-19-2P

439608-20-5P 439608-22-7P 439608-36-3P

439608-37-4P 439608-39-6P 439608-40-9P

439608-41-0P 439608-42-1P 439608-43-2P

439608-44-3P 439608-50-1P 439608-52-3P

439608-53-4P 439608-54-5P 439608-56-7P

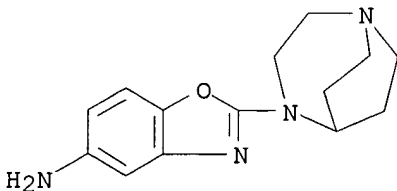
439608-57-8P 439608-58-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of diazabicycloalkanes as CNS-penetrant .alpha.7 nicotinic receptor agonists)

RN 376354-15-3 CAPLUS

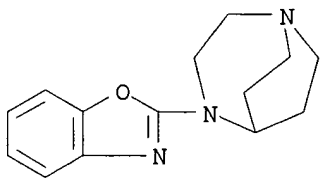
CN 5-Benzoxazolamine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)- (9CI) (CA INDEX NAME)



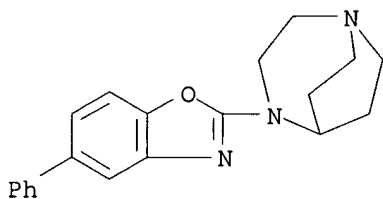
RN 376354-23-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(2-benzoxazolyl)- (9CI) (CA INDEX NAME)

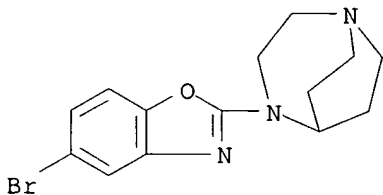
09/856,372



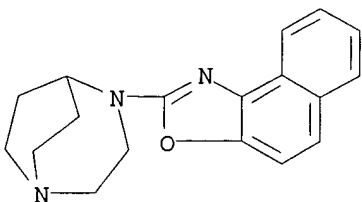
RN 439607-89-3 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-2-benzoxazolyl)- (9CI) (CA
INDEX NAME)



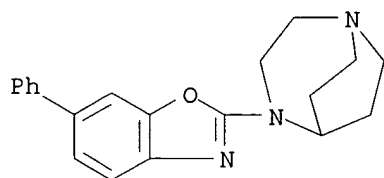
RN 439607-90-6 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-bromo-2-benzoxazolyl)- (9CI) (CA
INDEX NAME)



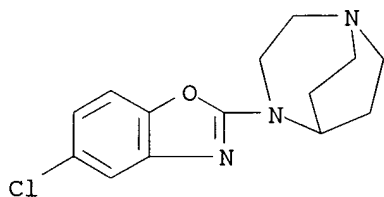
RN 439607-94-0 CAPLUS
CN Naphth[1,2-d]oxazole, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)- (9CI) (CA
INDEX NAME)



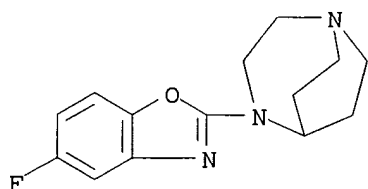
RN 439607-96-2 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenyl-2-benzoxazolyl)- (9CI) (CA
INDEX NAME)



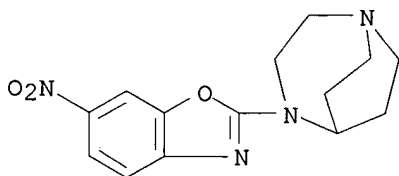
RN 439607-97-3 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-chloro-2-benzoxazolyl)- (9CI) (CA INDEX NAME)



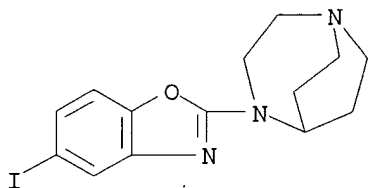
RN 439607-98-4 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-fluoro-2-benzoxazolyl)- (9CI) (CA INDEX NAME)



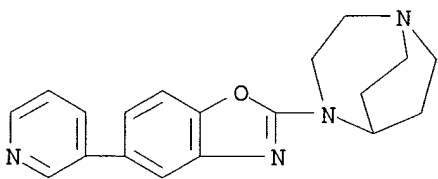
RN 439607-99-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-nitro-2-benzoxazolyl)- (9CI) (CA INDEX NAME)



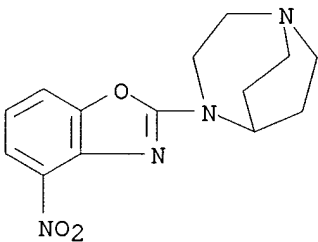
RN 439608-00-1 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-iodo-2-benzoxazolyl)- (9CI) (CA INDEX NAME)



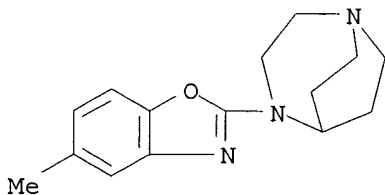
RN 439608-07-8 CAPLUS
 CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridinyl)-2-benzoxazolyl]- (9CI)
 (CA INDEX NAME)



RN 439608-09-0 CAPLUS
 CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(4-nitro-2-benzoxazolyl)- (9CI) (CA
 INDEX NAME)

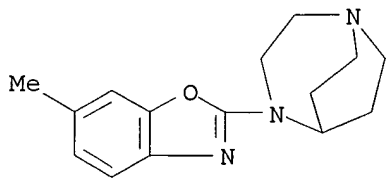


RN 439608-10-3 CAPLUS
 CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-methyl-2-benzoxazolyl)- (9CI) (CA
 INDEX NAME)

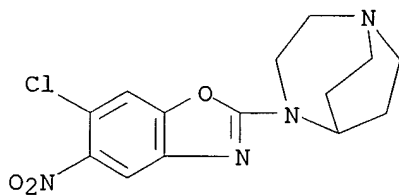


RN 439608-11-4 CAPLUS
 CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-methyl-2-benzoxazolyl)- (9CI) (CA
 INDEX NAME)

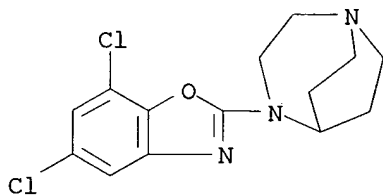
09/856,372



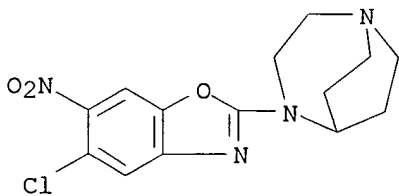
RN 439608-13-6 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-chloro-5-nitro-2-benzoxazolyl)- (9CI)
(CA INDEX NAME)



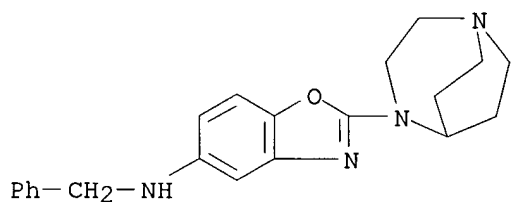
RN 439608-14-7 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5,7-dichloro-2-benzoxazolyl)- (9CI) (CA
INDEX NAME)



RN 439608-15-8 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-chloro-6-nitro-2-benzoxazolyl)- (9CI)
(CA INDEX NAME)



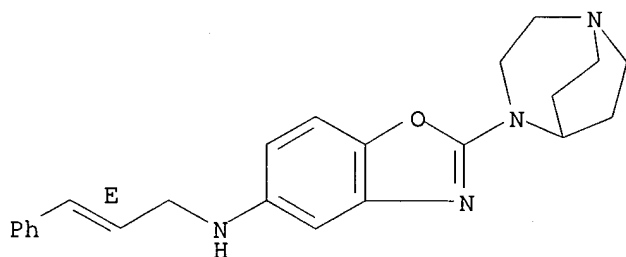
RN 439608-16-9 CAPLUS
CN 5-Benzoxazolamine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-N-(phenylmethyl)-
(9CI) (CA INDEX NAME)



RN 439608-17-0 CAPLUS

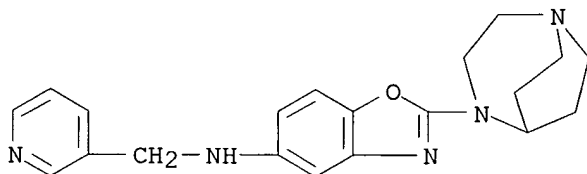
CN 5-Benzoxazolamine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-N-[(2E)-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



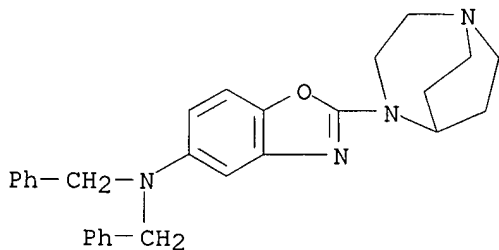
RN 439608-18-1 CAPLUS

CN 5-Benzoxazolamine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 439608-19-2 CAPLUS

CN 5-Benzoxazolamine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-N,N-bis(phenylmethyl)- (9CI) (CA INDEX NAME)

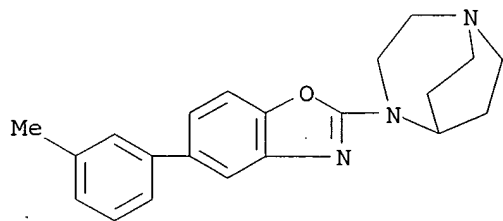


RN 439608-20-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methylphenyl)-2-benzoxazolyl]-

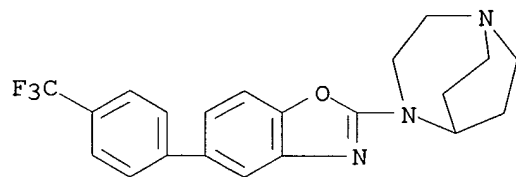
09/856,372

(9CI) (CA INDEX NAME)



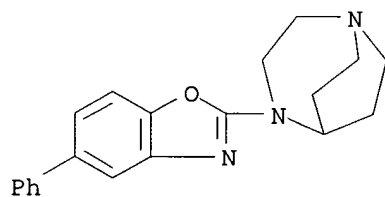
RN 439608-22-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[4-(trifluoromethyl)phenyl]-2-benzoxazolyl]- (9CI) (CA INDEX NAME)



RN 439608-36-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-2-benzoxazolyl)-, hydrochloride (9CI) (CA INDEX NAME)

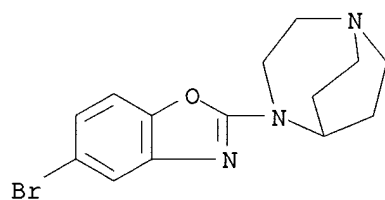


●x HCl

RN 439608-37-4 CAPLUS

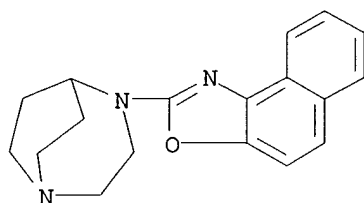
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-bromo-2-benzoxazolyl)-, hydrochloride (9CI) (CA INDEX NAME)

09/856,372



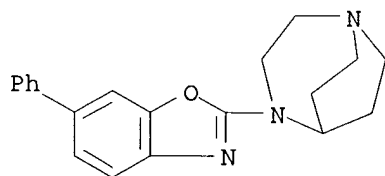
●x HCl

RN 439608-39-6 CAPLUS
CN Naphth[1,2-d]oxazole, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-, hydrochloride
(9CI) (CA INDEX NAME)



●x HCl

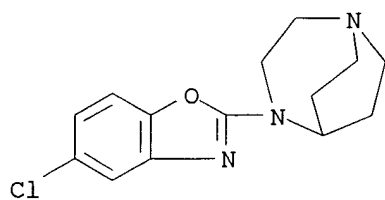
RN 439608-40-9 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenyl-2-benzoxazolyl)-, hydrochloride
(9CI) (CA INDEX NAME)



●x HCl

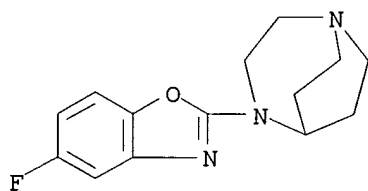
RN 439608-41-0 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-chloro-2-benzoxazolyl)-, hydrochloride
(9CI) (CA INDEX NAME)

09/856,372



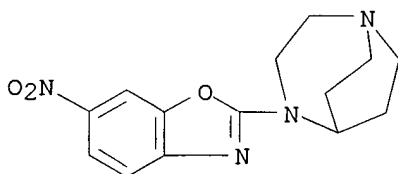
●x HCl

RN 439608-42-1 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-fluoro-2-benzoxazolyl)-, hydrochloride
(9CI) (CA INDEX NAME)



●x HCl

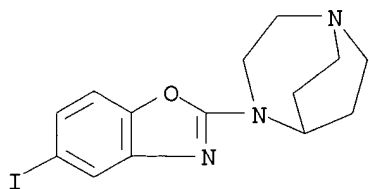
RN 439608-43-2 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-nitro-2-benzoxazolyl)-, hydrochloride
(9CI) (CA INDEX NAME)



●x HCl

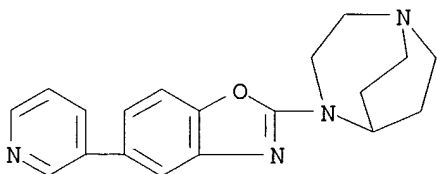
RN 439608-44-3 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-iodo-2-benzoxazolyl)-, hydrochloride
(9CI) (CA INDEX NAME)

09/856,372



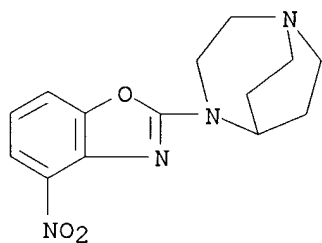
●x HCl

RN 439608-50-1 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridinyl)-2-benzoxazolyl]-,
hydrochloride (9CI) (CA INDEX NAME)



●x HCl

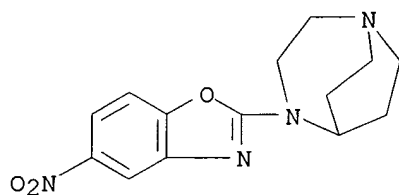
RN 439608-52-3 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(4-nitro-2-benzoxazolyl)-, hydrochloride
(9CI) (CA INDEX NAME)



●x HCl

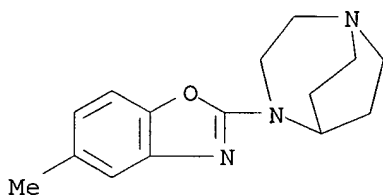
RN 439608-53-4 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-nitro-2-benzoxazolyl)-, hydrochloride
(9CI) (CA INDEX NAME)

09/856,372



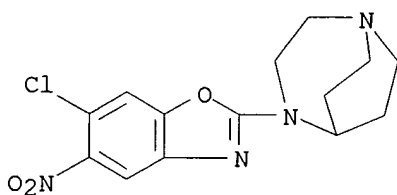
●x HCl

RN 439608-54-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-methyl-2-benzoxazolyl)-, hydrochloride
(9CI) (CA INDEX NAME)



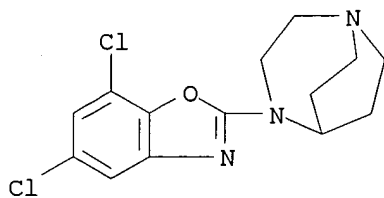
●x HCl

RN 439608-56-7 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-chloro-5-nitro-2-benzoxazolyl)-, hydrochloride (9CI) (CA INDEX NAME)



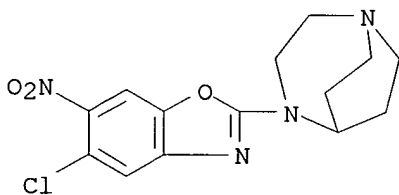
●x HCl

RN 439608-57-8 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5,7-dichloro-2-benzoxazolyl)-, hydrochloride (9CI) (CA INDEX NAME)



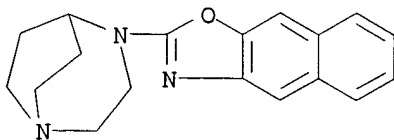
●x HCl

RN 439608-58-9 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-chloro-6-nitro-2-benzoxazolyl)-, hydrochloride (9CI) (CA INDEX NAME)



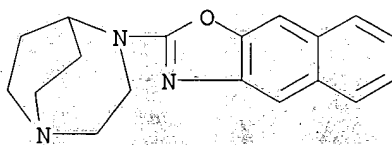
●x HCl

IT **439607-92-8P 439608-38-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of diazabicycloalkanes as CNS-penetrant .alpha.7 nicotinic receptor agonists)
RN 439607-92-8 CAPLUS
CN Naphth[2,3-d]oxazole, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)- (9CI) (CA INDEX NAME)



RN 439608-38-5 CAPLUS
CN Naphth[2,3-d]oxazole, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)

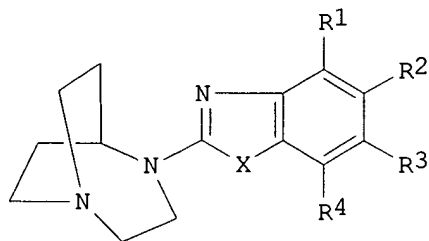
09/856,372



● x HCl

~~DOI~~ ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS
~~AN~~ 2001:886122 CAPLUS
 DN 136:6021
 TI 1,4-Diazabicyclo[3.2.2]nonylbenzoxazole, -benzothiazole and -benzimidazole derivatives as selective nicotinic .alpha.7 antagonists
 IN Galli, Frederic; Lochead, Alistair; Samson, Axelle
 PA Sanofi-Synthelabo, Fr.
 SO PCT Int. Appl., 19 pp.
 CODEN: PIXXD2
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001092261	A1	20011206	WO 2001-FR1651	20010529
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	FR 2809730	A1	20011207	FR 2000-6975	20000531
	FR 2809730	B1	20020719		
PRAI	FR 2000-6975	A	20000531		
OS	MARPAT 136:6021				
GI					



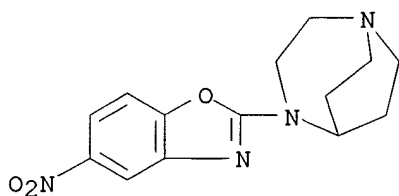
I

AB Title compds. I [X = O, S, NH; R1-R4 = H, halogen, NO2, amino, CF3, trifluoroalkoxy, CN, OH, alkyl, alkoxy, Ph] were prepd. for use as selective nicotinic .alpha.7 antagonists with IC50 0.021-0.125 .mu.M. Thus, 1,4-diazabicyclo[3.2.2]nonane was treated with 2-chlorobenzoxazole to give I [X = O, R1-R4 = H].

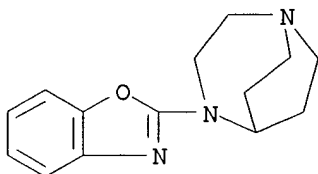
IT **376354-12-0P 376354-23-3P**
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (prepn. of 1,4-diazabicyclo[3.2.2]nonylbenzoxazole, -benzothiazole and -benzimidazole derivs. as selective nicotinic .alpha.7 antagonists)

RN 376354-12-0 CAPLUS

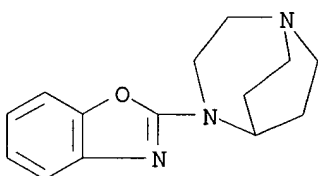
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-nitro-2-benzoxazolyl)- (9CI) (CA INDEX NAME)



RN 376354-23-3 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(2-benzoxazolyl)- (9CI) (CA INDEX NAME)



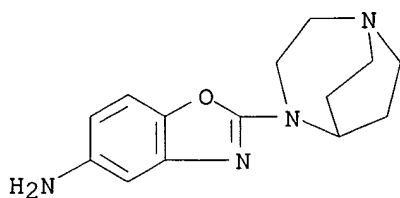
IT 376354-09-5P 376354-15-3P 376354-18-6P
376354-19-7P 376354-20-0P 376354-21-1P
376354-22-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1,4-diazabicyclo[3.2.2]nonylbenzoxazole, -benzothiazole and -benzimidazole derivs. as selective nicotinic .alpha.7 antagonists)
RN 376354-09-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(2-benzoxazolyl)-, dihydrobromide (9CI)
(CA INDEX NAME)



●2 HBr

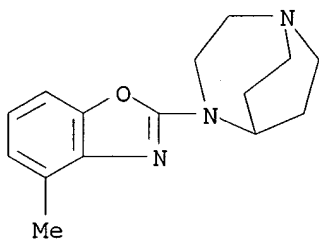
RN 376354-15-3 CAPLUS
CN 5-Benzoxazolamine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)- (9CI) (CA INDEX NAME)

09/856,372



RN 376354-18-6 CAPLUS

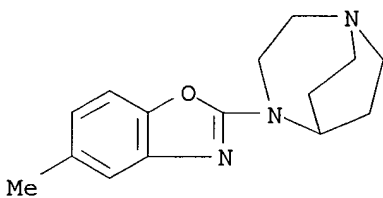
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(4-methyl-2-benzoxazolyl)-,
dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 376354-19-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-methyl-2-benzoxazolyl)-,
dihydrochloride (9CI) (CA INDEX NAME)

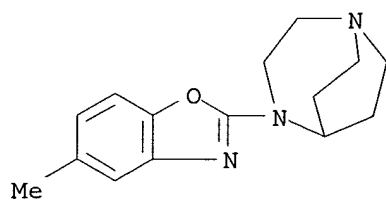


●2 HCl

RN 376354-20-0 CAPLUS

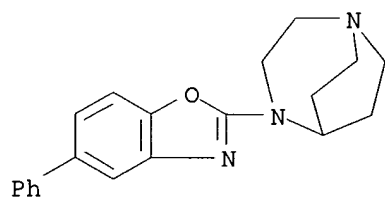
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-methyl-2-benzoxazolyl)-,
dihydrobromide (9CI) (CA INDEX NAME)

09/856,372



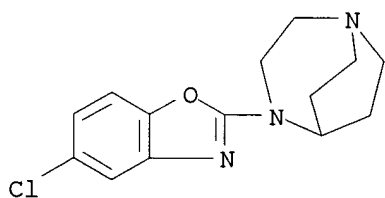
●2 HBr

RN 376354-21-1 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-2-benzoxazolyl)-,
dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 376354-22-2 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-chloro-2-benzoxazolyl)-,
dihydrobromide (9CI) (CA INDEX NAME)



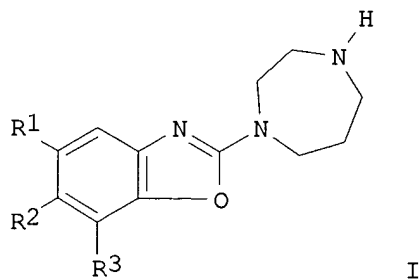
●2 HBr

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

applicants

L10 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS
 AN 2000:368353 CAPLUS
 DN 133:4649
 TI Preparation of benzoxazole derivatives for treatment of irritable bowel syndrome, functional disorders of the digestive tract, and diarrhea
 IN Shiokawa, Sojiro; Sato, Yasuo; Izumi, Masaaki; Yoshida, Satoshi; Murakami, Hiroshi; Ito, Tomoko; Niisato, Tetsutaro
 PA Meiji Seika Kaisha, Ltd., Japan
 SO PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000031073	A1	20000602	WO 1999-JP6491	19991119
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	EP 1134220	A1	20010919	EP 1999-972633	19991119
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	BR 9916793	A	20011113	BR 1999-16793	19991119
	AU 753797	B2	20021031	AU 2000-11850	19991119
	NO 2001002443	A	20010712	NO 2001-2443	20010518
PRAI	JP 1998-331274	A	19981120		
	WO 1999-JP6491	W	19991119		
OS	MARPAT 133:4649				
GI					



AB The title compds. I [R1 represents halogeno; R2 represents hydrogen or lower alkyl; and R3 represents hydrogen, lower alkyl, lower alkoxy, hydroxy(lower alkyl), halogeno or amino optionally having a substituent selected from the group consisting of lower alkyl, lower alkenyl, lower alkylcarbony and amino-protective groups] are prepd. 5-Chloro-2-(1-homopiperazinyl)-7-methylbenzoxazole hydrochloride showed ED50 of 0.00025

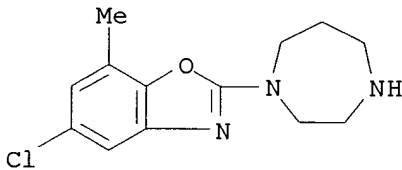
mg/kg against stress-induced diarrhea in rats. Formulations are given.

IT 270917-08-3P 270917-09-4P 270917-10-7P
 270917-11-8P 270917-12-9P 270917-13-0P
 270917-14-1P 270917-15-2P 270917-16-3P
 270917-17-4P 270917-18-5P 270917-19-6P
 270917-20-9P 270917-21-0P 270917-22-1P
 270917-23-2P 270917-24-3P 270917-25-4P
 270917-26-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of benzoxazole derivs. for treatment of irritable bowel syndrome, functional disorders of digestive tract, and diarrhea)

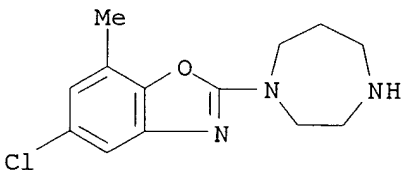
RN 270917-08-3 CAPLUS

CN Benzoxazole, 5-chloro-2-(hexahydro-1H-1,4-diazepin-1-yl)-7-methyl- (9CI)
 (CA INDEX NAME)



RN 270917-09-4 CAPLUS

CN Benzoxazole, 5-chloro-2-(hexahydro-1H-1,4-diazepin-1-yl)-7-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 270917-10-7 CAPLUS

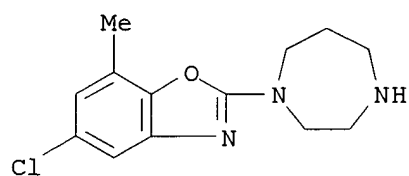
CN Benzoxazole, 5-chloro-2-(hexahydro-1H-1,4-diazepin-1-yl)-7-methyl-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 270917-08-3

CMF C13 H16 Cl N3 O

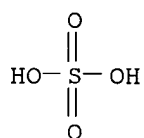
09/856,372



CM 2

CRN 7664-93-9

CMF H2 O4 S



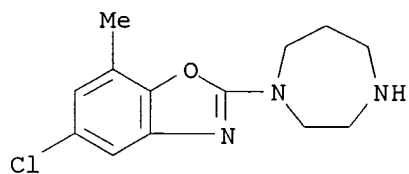
RN 270917-11-8 CAPLUS

CN Benzoxazole, 5-chloro-2-(hexahydro-1H-1,4-diazepin-1-yl)-7-methyl-,
monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 270917-08-3

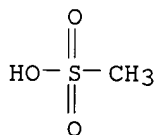
CMF C13 H16 Cl N3 O



CM 2

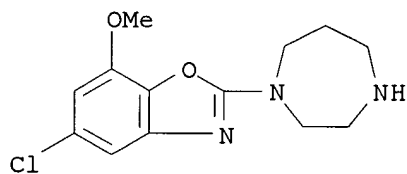
CRN 75-75-2

CMF C H4 O3 S

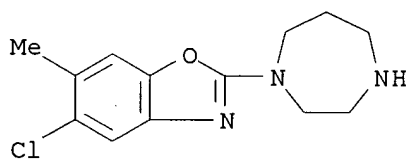


RN 270917-12-9 CAPLUS

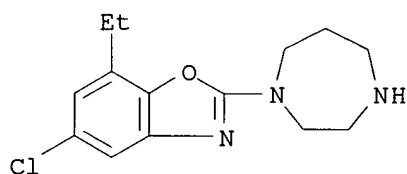
CN Benzoxazole, 5-chloro-2-(hexahydro-1H-1,4-diazepin-1-yl)-7-methoxy- (9CI)
(CA INDEX NAME)



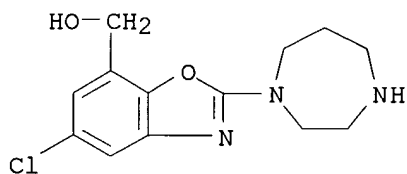
RN 270917-13-0 CAPLUS
 CN Benzoxazole, 5-chloro-2-(hexahydro-1H-1,4-diazepin-1-yl)-6-methyl- (9CI)
 (CA INDEX NAME)



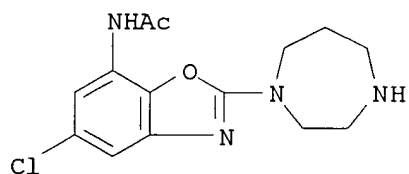
RN 270917-14-1 CAPLUS
 CN Benzoxazole, 5-chloro-7-ethyl-2-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI)
 (CA INDEX NAME)



RN 270917-15-2 CAPLUS
 CN 7-Benzoxazolemethanol, 5-chloro-2-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI)
 (CA INDEX NAME)

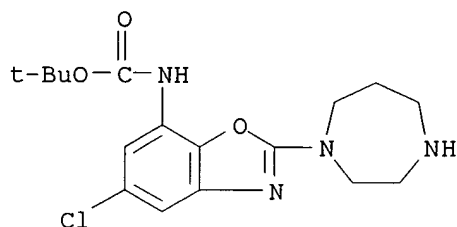


RN 270917-16-3 CAPLUS
 CN Acetamide, N-[5-chloro-2-(hexahydro-1H-1,4-diazepin-1-yl)-7-benzoxazolyl]- (9CI) (CA INDEX NAME)



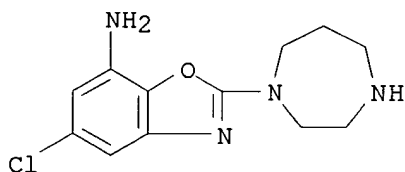
RN 270917-17-4 CAPLUS

CN Carbamic acid, [5-chloro-2-(hexahydro-1H-1,4-diazepin-1-yl)-7-benzoxazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 270917-18-5 CAPLUS

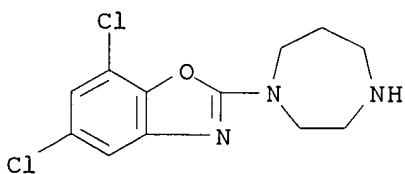
CN 7-Benzoxazolamine, 5-chloro-2-(hexahydro-1H-1,4-diazepin-1-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

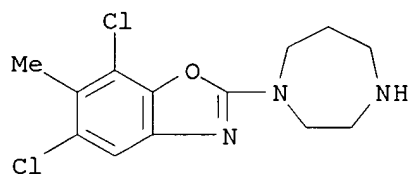
RN 270917-19-6 CAPLUS

CN Benzoxazole, 5,7-dichloro-2-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



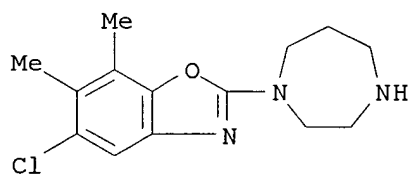
RN 270917-20-9 CAPLUS

CN Benzoxazole, 5,7-dichloro-2-(hexahydro-1H-1,4-diazepin-1-yl)-6-methyl- (9CI) (CA INDEX NAME)



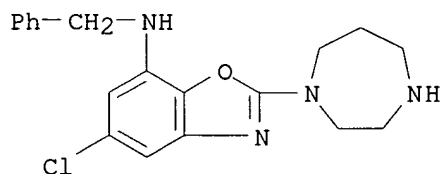
RN 270917-21-0 CAPLUS

CN Benzoxazole, 5-chloro-2-(hexahydro-1H-1,4-diazepin-1-yl)-6,7-dimethyl-
(9CI) (CA INDEX NAME)



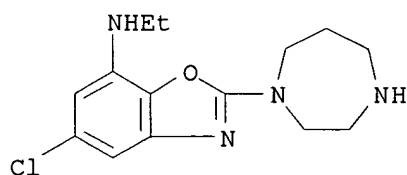
RN 270917-22-1 CAPLUS

CN 7-Benzoxazolamine, 5-chloro-2-(hexahydro-1H-1,4-diazepin-1-yl)-N-
(phenylmethyl)- (9CI) (CA INDEX NAME)



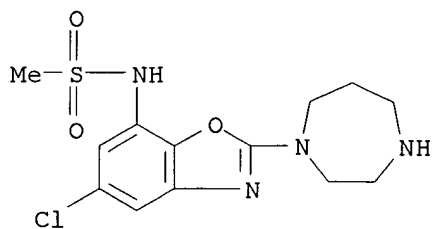
RN 270917-23-2 CAPLUS

CN 7-Benzoxazolamine, 5-chloro-N-ethyl-2-(hexahydro-1H-1,4-diazepin-1-yl)-
(9CI) (CA INDEX NAME)



RN 270917-24-3 CAPLUS

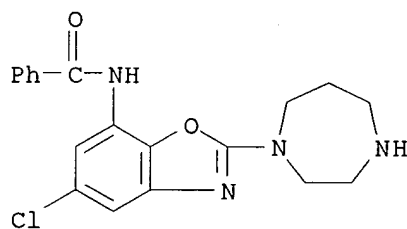
CN Methanesulfonamide, N-[5-chloro-2-(hexahydro-1H-1,4-diazepin-1-yl)-7-
benzoxazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 270917-25-4 CAPLUS

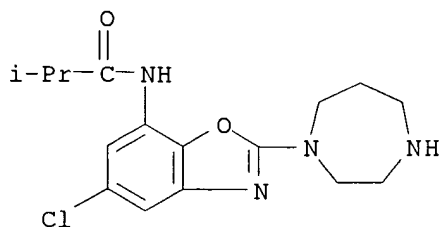
CN Benzamide, N-[5-chloro-2-(hexahydro-1H-1,4-diazepin-1-yl)-7-benzoxazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 270917-26-5 CAPLUS

CN Propanamide, N-[5-chloro-2-(hexahydro-1H-1,4-diazepin-1-yl)-7-benzoxazolyl]-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 270917-33-4P 270917-34-5P

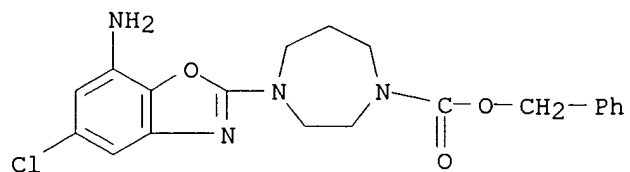
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of benzoxazole derivs. for treatment of irritable bowel syndrome, functional disorders of digestive tract, and diarrhea)

09/856,372

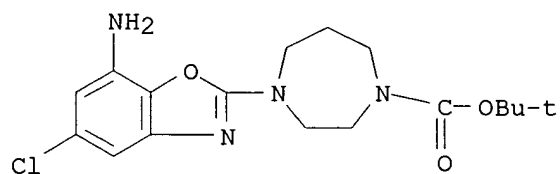
RN 270917-33-4 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-(7-amino-5-chloro-2-benzoxazolyl)hexahydro-, phenylmethyl ester (9CI) (CA INDEX NAME)



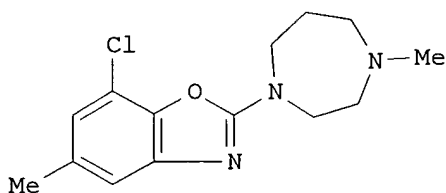
RN 270917-34-5 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-(7-amino-5-chloro-2-benzoxazolyl)hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS
 AN 1998:450896 CAPLUS
 DN 129:170108
 TI Benzoxazole Derivatives as Novel 5-HT₃ Receptor Partial Agonists in the Gut
 AU Sato, Yasuo; Yamada, Megumi; Yoshida, Satoshi; Soneda, Tomoko; Ishikawa, Midori; Nizato, Tetsutaro; Suzuki, Kokichi; Konno, Fukio
 CS Pharmaceutical Research Center, Meiji Seika Kaisha, Yokohama, 222, Japan
 SO Journal of Medicinal Chemistry (1998), 41(16), 3015-3021
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 129:170108
 GI

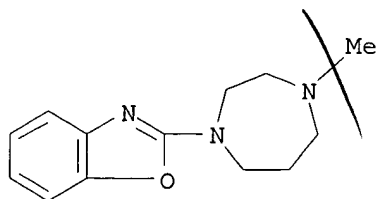


AB A series of benzoxazoles with a nitrogen-contg. heterocyclic substituent at the 2-position was prepd. and evaluated for 5-HT₃ partial agonist activity on isolated guinea pig ileum. The nature of the substituent at the 5-position of the benzoxazole ring affected the potency for the 5-HT₃ receptor, and the 5-chloro derivs. showed increased potency and lowered intrinsic activity. 5-Chloro-7-methyl-2-(4-methyl-1-homopiperazinyl)benzoxazole (I) exhibited a high binding affinity in the same range as that of the 5-HT₃ antagonist granisetron, and its intrinsic activity was 12% of that of 5-HT. I inhibited 5-HT-evoked diarrhea but did not prolong the transition time of glass beads in the normal distal colon even at a dose of 100 times the ED₅₀ for diarrhea inhibition in mice. Comps. of this type are expected to be effective for the treatment of irritable bowel syndrome without the side effect of constipation.

IT **159731-51-8P 199292-98-3P 199293-03-3P,**
 5-Chloro-2-(4-methyl-1-homopiperazinyl)benzoxazole
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (benzoxazole derivs. as novel 5-HT₃ receptor partial agonists in gut in relation to treatment of irritable bowel syndrome)

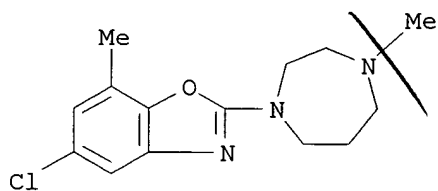
RN 159731-51-8 CAPLUS
 CN Benzoxazole, 2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)

09/856,372



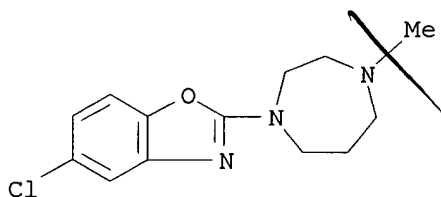
RN 199292-98-3 CAPLUS

CN Benzoxazole, 5-chloro-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-7-methyl-
(9CI) (CA INDEX NAME)



RN 199293-03-3 CAPLUS

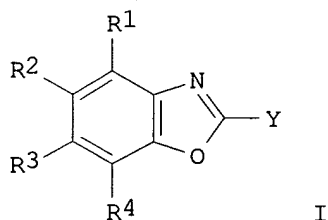
CN Benzoxazole, 5-chloro-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI)
(CA INDEX NAME)



RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS
 AN 1997:744000 CAPLUS
 DN 128:22896
 TI Preparation of benzoxazoles as serotonin 5-HT3 receptor partial activator
 IN Sato, Yasuo; Yamada, Megumi; Kobayashi, Kazuko; Iwamatsu, Katsuyoshi;
 Konno, Fukio; Shudo, Koichi
 PA Meiji Seika Kaisha Ltd., Japan; Shudo, Koichi
 SO Eur. Pat. Appl., 25 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 806419	A1	19971112	EP 1997-107580	19970507
	R: BE, DE, ES, FR, GB, IT, NL, SE				
	JP 10029987	A2	19980203	JP 1997-93821	19970411
	US 6037342	A	20000314	US 1997-852747	19970506
	US 6297246	B1	20011002	US 1999-298952	19990426
	US 6333328	B1	20011225	US 2000-686759	20001012
	US 2001016579	A1	20010823	US 2001-796805	20010302
	US 2003013730	A1	20030116	US 2002-219496	20020816
PRAI	JP 1996-115008	A	19960509		
	JP 1997-93821	A	19970411		
	US 1997-852747	A3	19970506		
	US 1999-298952	A3	19990426		
	US 2000-686759	A3	20001012		
	US 2001-796805	A3	20010302		
OS	MARPAT 128:22896				
GI					



AB The title compds. [I; R1-R4 = H, halo, lower alkyl, etc.; Y = (un)satd. (un)substituted 4-8 membered heterocycle contg. 1-3 N atoms] which are serotonin 5-HT3 receptor partial activators, in addn. to its serotonin 5-HT3 receptor antagonism, and do not cause constipation as a side effect, were prepd. and formulated. Thus, treatment of 5-chloro-2-mercaptobenzoxazole with PCl5 in C6H6 followed by addn. of 1-methylpiperazine afforded I [R1 = R3 = R4 = H; R2 = Cl; Y = 4-Me-piperazinyl] which showed activation of 0.55 i.a. (i.a. value was expressed as the ratio of the max. reaction of the compd. when the max. contraction reaction obtained by 10 .mu.M of serotonin 5-HT was defined as 1).

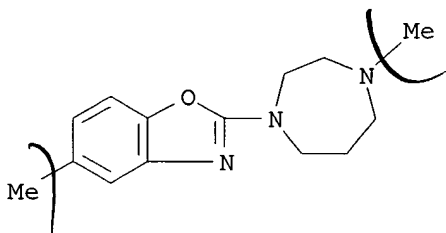
IT 199292-96-1P 199292-97-2P 199292-98-3P
 199292-99-4P 199293-00-0P 199293-01-1P
 199293-03-3P 199293-04-4P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzoxazoles as serotonin 5-HT₃ receptor partial activator)

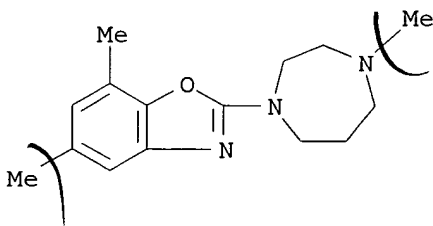
RN 199292-96-1 CAPLUS

CN Benzoxazole, 2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-5-methyl- (9CI)
(CA INDEX NAME)



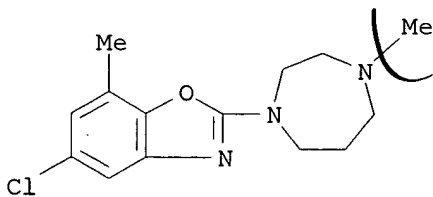
RN 199292-97-2 CAPLUS

CN Benzoxazole, 2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-5,7-dimethyl- (9CI) (CA INDEX NAME)



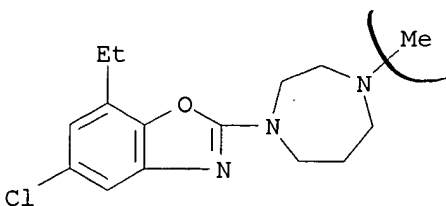
RN 199292-98-3 CAPLUS

CN Benzoxazole, 5-chloro-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-7-methyl- (9CI) (CA INDEX NAME)



RN 199292-99-4 CAPLUS

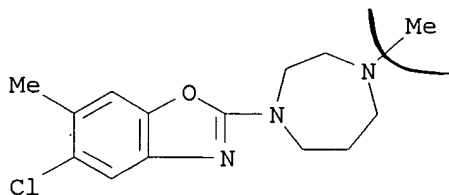
CN Benzoxazole, 5-chloro-7-ethyl-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



09/856,372

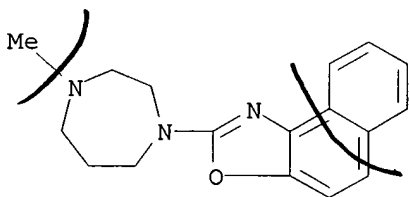
RN 199293-00-0 CAPLUS

CN Benzoxazole, 5-chloro-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-6-methyl-
(9CI) (CA INDEX NAME)



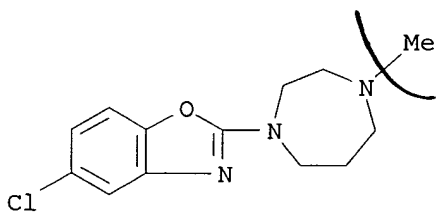
RN 199293-01-1 CAPLUS

CN Naphth[1,2-d]oxazole, 2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI)
(CA INDEX NAME)



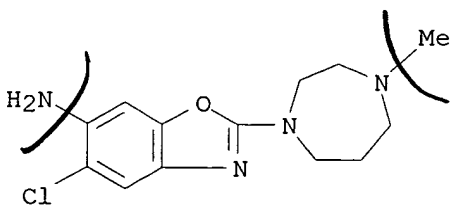
RN 199293-03-3 CAPLUS

CN Benzoxazole, 5-chloro-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI)
(CA INDEX NAME)



RN 199293-04-4 CAPLUS

CN 6-Benzoxazolamine, 5-chloro-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-
(9CI) (CA INDEX NAME)



IT 199293-14-6

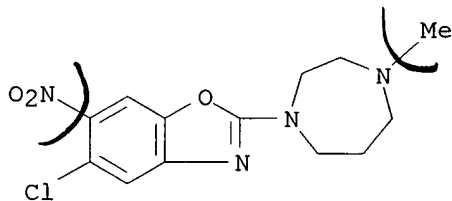
RL: RCT (Reactant); RACT (Reactant or reagent)

09/856,372

(prepn. of benzoxazoles as serotonin 5-HT₃ receptor partial activator)

RN 199293-14-6 CAPLUS

CN Benzoxazole, 5-chloro-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-6-nitro-
(9CI) (CA INDEX NAME)



09/856,372

~~LI~~ ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS

~~AN~~ 1996:294873 CAPLUS

DN 124:343286

TI Preparation of (benzoxazolyl)- and (benzothiazolyl)oxazolidinone antibiotics

IN Haebisch, Dieter; Riedl, Bernd; Ruppelt, Martin; Stolle, Andreas; Wild, Hanno; Endermann, Rainer; Bremm, Klaus-Dieter; Kroll, Hein-Peter; Labischinski, Harald; et al.

PA Bayer A.-G., Germany

SO Ger. Offen., 71 pp.

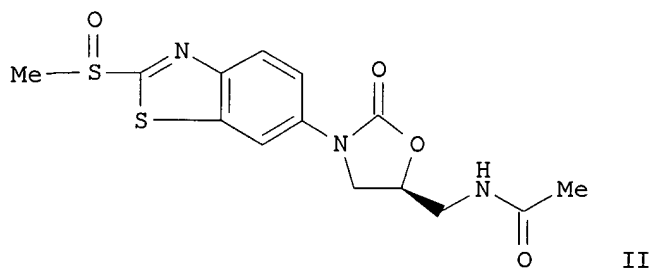
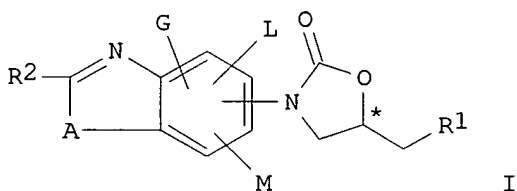
CODEN: GWXXBX

DT Patent

LA German

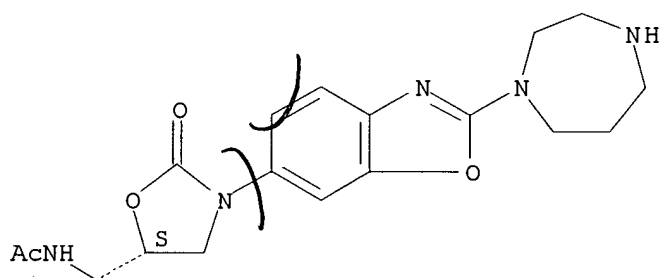
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19514313	A1	19960208	DE 1995-19514313	19950418
	RO 115263	B1	19991230	RO 1995-1322	19950718
	EP 697412	A1	19960221	EP 1995-111477	19950721
	EP 697412	B1	20000112		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	AT 188698	E	20000115	AT 1995-111477	19950721
	AU 9527230	A1	19960215	AU 1995-27230	19950727
	AU 698939	B2	19981112		
	US 5529998	A	19960625	US 1995-508245	19950727
	JP 08081463	A2	19960326	JP 1995-211265	19950728
	IL 114784	A1	19991028	IL 1995-114784	19950731
	FI 9503665	A	19960204	FI 1995-3665	19950801
	NO 9503045	A	19960205	NO 1995-3045	19950802
	ZA 9506445	A	19960322	ZA 1995-6445	19950802
	HU 75037	A2	19970328	HU 1995-2295	19950802
	CN 1122803	A	19960522	CN 1995-115330	19950803
PRAI	DE 1994-4427475	A1	19940803		
	DE 1995-19514313	A	19950418		
OS	MARPAT 124:343286				
GI					



- AB The title compds. [I; A = O, S(O)a; a = 0, 2; G, L, M = H, CO₂H, halogen, CN, CHO, CF₃, NO₂, (un)branched alkoxy, etc.; R₁ = OSO₂R₃, tertiary-amino group; R₃ = (un)substituted alkyl, (un)substituted Ph; R₂ = H, CHO, CO₂H, alkoxy-carbonyl, etc.; * = chiral C], useful in pharmaceuticals, esp. as antibiotics, are prepd. Thus, benzothiazole II, m.p. 228.degree., was prepd. by the m-chloroperbenzoic acid oxidn. of the methylthio analog, and demonstrated a MIC against Staph. 133 (sic) of 1 .mu.g/mL and Staph. 48N (sic) of 2 mg/mL.
- IT **176491-30-8P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of (benzoxazolyl)- and (benzothiazolyl)oxazolidinone antibiotics)
- RN 176491-30-8 CAPLUS
- CN Acetamide, N-[[3-[2-(hexahydro-1H-1,4-diazepin-1-yl)-6-benzoxazolyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/856,372

~~LI~~0 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS

~~AN~~ 1995:434437 CAPLUS

~~DN~~ 123:33040

TI Synthesis of new armed cyclopolyamines and their selective extraction properties for metal ions

AU matsumoto, Kiyoshi; Fukuyama, Keisuke; Iida, Hirokazu; Toda, Mitsuo; Lown, J. William

CS Grad. Sch. Human Environ. Studies, Kyoto Univ., Kyoto, 606-01, Japan

SO Heterocycles (1995), 41(2), 237-44

CODEN: HTCYAM; ISSN: 0385-5414

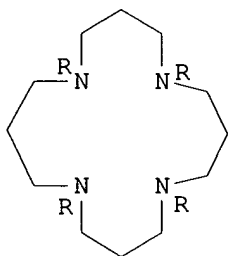
PB Japan Institute of Heterocyclic Chemistry

DT Journal

LA English

OS CASREACT 123:33040

GI



I

AB A variety of new armed cyclopolyamines, e.g. I (R = 2-pyridyl), were prepd. by high pressure SNAr reactions (0.8 GPa, 100.degree.C) of cyclopolyamines with heteroarom. halides. Certain of these agents show selective extn. properties for Hg²⁺ and Ag⁺ ions.

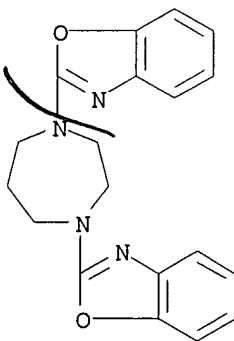
IT **131119-38-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of cyclopolyamines and their selective extn. properties for metal ions)

RN 131119-38-5 CAPLUS

CN Benzoxazole, 2,2'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis- (9CI)
(CA INDEX NAME)



~~LI~~ ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS

~~IN~~ 1995:252545 CAPLUS

DN 122:31567

TI Preparation of piperazinybenzoxazoles as serotonin 5-HT₃ receptor antagonists.

IN Iwamatsu, Katsuyoshi; Sato, Yasuo; Amano, Kazuko; Izumi, Masaaki; Konno, Fukio; Shibahara, Seiji; Inoye, Shigeharu; Shudo, Koichi

PA Meiji Seika Kaisha Ltd., Japan

SO Eur. Pat. Appl., 16 pp.

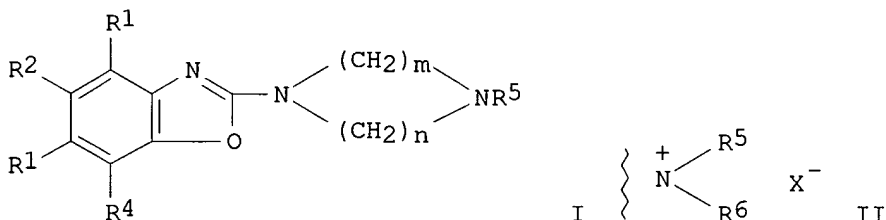
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 621271	A1	19941026	EP 1994-105997	19940418
	EP 621271	B1	20011017		
	R: DE, ES, FR, GB, IT, NL, SE				
	JP 06345744	A2	19941220	JP 1994-42909	19940314
	JP 3193560	B2	20010730		
	ES 2165859	T3	20020401	ES 1994-105997	19940418
	US 5631257	A	19970520	US 1995-487697	19950607
PRAI	JP 1993-90086	A	19930416		
	JP 1994-42909	A	19940314		
	US 1994-228894	B1	19940418		
OS	MARPAT 122:31567				
GI					



AB Title compds. I (R₁, R₂, R₃, R₄ = H, alkyl, alkenyl each of which may be substituted, halo, HO, H₂N, alkoxy, HO₂C, H₂NCO, O₂N; any 2 of R₁-R₄ may form a 3-7-membered aryl or heterocyclyl; R₅ = alkyl, alkenyl, aralkyl each of which may be substituted; m, n = 1-3) and II (R₆ = alkyl, alkenyl, aralkyl each of which may be substituted; R₅R₆ = 3-7-membered heterocyclyl; X⁻ = anion) are prepd. 2-Chlorobenzoxazole and N-methylpiperazine were reacted to give I (R₁-R₄ = H, R₅ = Me, m = n = 2) which was treated with H₂C:CHCH₂I to give II (R₁-R₄ = H, R₅ = Me, R₆ = ally, m = n = 2, X⁻ = I) which at 0.3 mg/kg showed 5-HT₃ receptor antagonism 82% inhibitory ratio.

IT 159731-51-8P 159731-52-9P 159731-53-0P

159731-54-1P 159731-55-2P 159731-56-3P

159731-57-4P

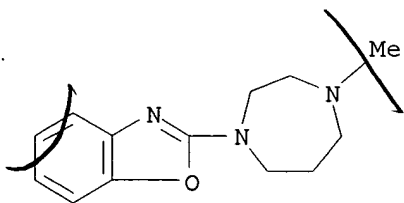
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperazinybenzoxazoles as serotonin 5-HT₃ receptor antagonists.)

09/856,372

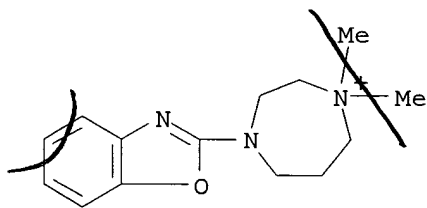
RN 159731-51-8 CAPLUS

CN Benzoxazole, 2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 159731-52-9 CAPLUS

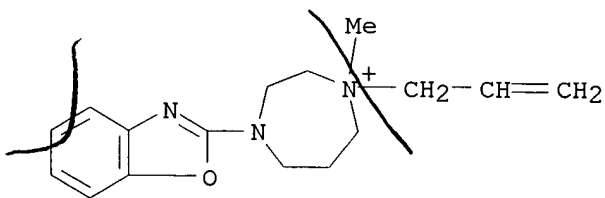
CN 1H-1,4-Diazepinium, 4-(2-benzoxazolyl)hexahydro-1,1-dimethyl-, iodide (9CI) (CA INDEX NAME)



● I⁻

RN 159731-53-0 CAPLUS

CN 1H-1,4-Diazepinium, 4-(2-benzoxazolyl)hexahydro-1-methyl-1-(2-propenyl)-, iodide (9CI) (CA INDEX NAME)

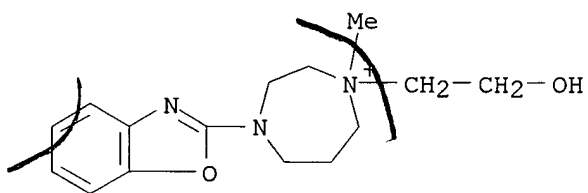


● I⁻

RN 159731-54-1 CAPLUS

CN 1H-1,4-Diazepinium, 4-(2-benzoxazolyl)hexahydro-1-(2-hydroxyethyl)-1-methyl-, iodide (9CI) (CA INDEX NAME)

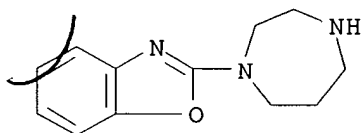
09/856,372



● I⁻

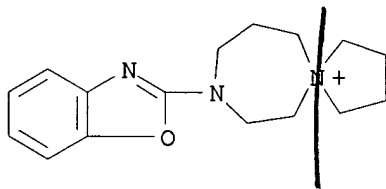
RN 159731-55-2 CAPLUS

CN Benzoxazole, 2-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 159731-56-3 CAPLUS

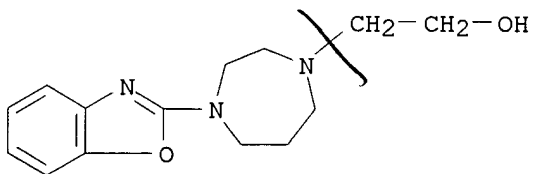
CN 8-Aza-5-azoniaspiro[4.6]undecane, 8-(2-benzoxazolyl)-, iodide (9CI) (CA INDEX NAME)



● I⁻

RN 159731-57-4 CAPLUS

CN 1H-1,4-Diazepine-1-ethanol, 4-(2-benzoxazolyl)hexahydro- (9CI) (CA INDEX NAME)



~~110~~ ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS

~~AN~~ 1991:23936 CAPLUS

~~DN~~ 114:23936

TI Synthesis of double-armed azaoligocycles based upon high pressure aromatic nucleophilic substitution reactions

AU Matsumoto, Kiyoshi; Minatogawa, Hiroyuki; Toda, Mitsuo; Munakata, Megumu

CS Coll. Liberal Arts Sci., Kyoto Univ., Kyoto, 606, Japan

SO Heterocycles (1990), 31(7), 1217-20

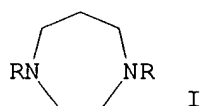
CODEN: HTCYAM; ISSN: 0385-5414

DT Journal

LA English

OS CASREACT 114:23936

GI



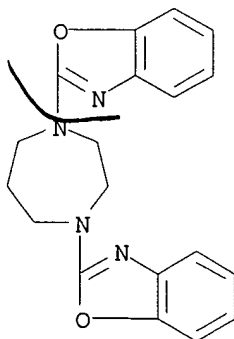
AB A variety of title compds., e.g., I (R = pyridin-2-yl, 2-thiazolyl, 2-pyrimidinyl) were prepd. through high pressure SNAr reactions (0.8 GPa, 100.degree.) of homopiperazine I (R = H) with 5- and 6-membered heteroarom. halides, e.g., 2-fluoropyridine, 2-bromothiazole, the yields being good to excellent when the halides are activated by electronic effects.

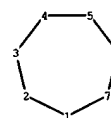
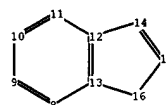
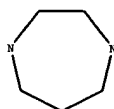
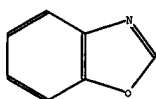
IT **131119-38-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 131119-38-5 CAPLUS

CN Benzoxazole, 2,2'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis- (9CI)
(CA INDEX NAME)





ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16

ring bonds :

1-2 1-7 2-3 3-4 4-5 5-6 6-7 8-9 8-13 9-10 10-11 11-12 12-13
12-14 13-16 14-15 15-16

exact/norm bonds :

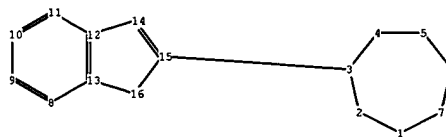
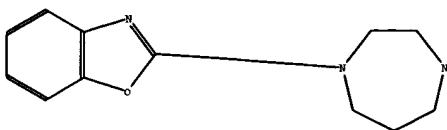
1-2 1-7 2-3 3-4 4-5 5-6 6-7 12-14 13-16 14-15 15-16

normalized bonds :

8-9 8-13 9-10 10-11 11-12 12-13

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16

chain bonds :

3-15

ring bonds :

1-2 1-7 2-3 3-4 4-5 5-6 6-7 8-9 8-13 9-10 10-11 11-12 12-13
12-14 13-16 14-15 15-16

exact/norm bonds :

1-2 1-7 2-3 3-4 3-15 4-5 5-6 6-7 12-14 13-16 14-15 15-16

normalized bonds :

8-9 8-13 9-10 10-11 11-12 12-13

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom